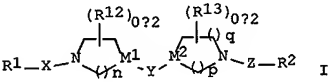
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:716267 CAPLUS
DN 137:247716
TI Preparation and use of substituted piperazine/piperidine derivatives as H receptor antagonists
IN Rosenblum, Stuart B.; Zeng, Qingbei; Mutahi, Mwangi Wa; Aslanian, Robert G.; Ting, Pauline C.; Shih, Neng-Yang; Solomon, Daniel M.; Cao, Jianhua; Vaccaro, Henry A.; McCormick, Kevin D.; Baldwin, John J.; Li, Ge
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002072570	A2	20020919	WO 2002-US7106	20020311
	WO 2002072570	A3	20030306		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003109564	A1	20030612	US 2002-95134	20020311
PRAI	US 2001-275417P	P	20010313		

OS MARPAT 137:247716
GI



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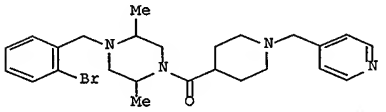


II

AB Title compds. I [R = (hetero)aryl, heterocycloalkyl, alkyl, carboxamido, etc.; X = alkyl, S(O)2; Y = bond, CO, CS, alkyl, amido, etc.; M = C, N; Z = alkyl, SO2, CO, carboxamido; R = 5-6 membered heteroaryl, alkyl, aryl, etc.; R = alkyl, OH, alkoxy, F, etc.; n, p, q = 1-3; with some provisions] were prepd. For instance, 2,5-dimethylpiperazine was alkylated with 2-bromobenzaldehyde (CH2Cl2, NaHB(OAc)3) and subsequently acylated with N-Boc-isonipecotic acid (CH2Cl2, PyBOP, i-Pr2NEt). The resulting intermediate was deprotected and reductively alkylated with pyridine-4-carboxaldehyde to afford. Selected example compds. had Ki within 0.2 and 600 nM for the H3 receptor. : I, alone and in combination with a H1 receptor antagonist, are used for the treatment of various diseases or conditions, such as, allergy, allergy-induced airway

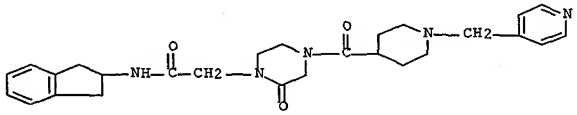
responses and congestion (e.g., nasal congestion).

IT **460093-95-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(H3 receptor antagonist; prepn. and use of substituted piperazine/piperidine derivs. as H receptor antagonists)

RN 460093-95-2 CAPLUS

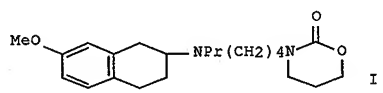
CN 1-Piperazineacetamide, N-(2,3-dihydro-1H-inden-2-yl)-2-oxo-4-[[1-(4-pyridinylmethyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:868428 CAPLUS
DN 136:6017
TI Substituted 1-aminoalkyl-lactams and their use as muscarinic receptor antagonists
IN Madera, Ann Marie; Stabler, Russell Stephen; Weikert, Robert James
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001090082	A1	20011129	WO 2001-EP5631	20010517
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289964	A1	20030312	EP 2001-933980	20010517
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011019	A	20030617	BR 2001-11019	20010517
	JP 2003534331	T2	20031118	JP 2001-586271	20010517
	US 2002004494	A1	20020110	US 2001-862522	20010522
	US 6500822	B2	20021231		
	US 2003109524	A1	20030612	US 2002-289055	20021106
	US 6645958	B2	20031111		
	NO 2002005641	A	20021217	NO 2002-5641	20021122
PRAI	US 2000-207483P	P	20000525		
	US 2001-267617P	P	20010209		
	WO 2001-EP5631	W	20010517		
	US 2001-862522	A3	20010522		

OS MARPAT 136:6017
GI



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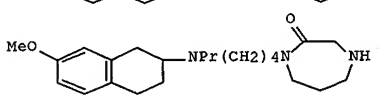


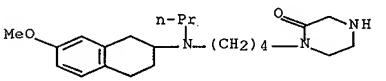
II

AB Title compds. such as I and II were prepd. Thus, I was prepd. in two steps from 3,4-dihydro-7-methoxy-2(1H)-naphthalenone and PrNH2. Muscarinic inhibitory activities (expressed as pKi values) of I were 8.20 (m2), 7.56 (m3), 6.30 (m5).

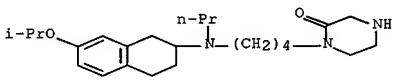
IT **375370-61-9P 375370-65-3P 375370-66-4P 375370-72-2P 375370-74-4P 375370-75-5P**

375370-76-6P 375370-79-9P 375370-84-6P
375370-85-7P 375370-86-8P 375370-87-9P
375370-88-0P 375370-89-1P 375370-90-4P
375370-91-5P 375370-92-6P 375370-93-7P
375370-94-8P 375370-95-9P 375370-96-0P
375370-97-1P 375370-98-2P 375370-99-3P
375371-00-9P 375371-01-0P 375371-02-1P
375371-08-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-aminoalkyl-lactams and their use as muscarinic receptor antagonists)

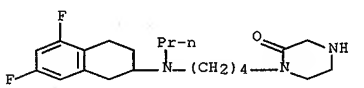
RN 375370-61-9 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



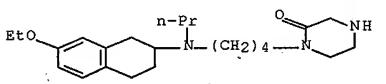
RN 375370-65-3 CAPLUS
CN Piperazinone, 1-[4-[propyl[1,2,3,4-tetrahydro-7-(1-methylethoxy)-2-naphthalenyl]amino]butyl]- (9CI) (CA INDEX NAME)



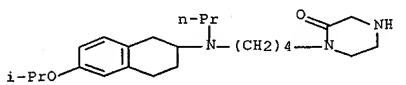
RN 375370-66-4 CAPLUS
CN Piperazinone, 1-[4-[(5,7-difluoro-1,2,3,4-tetrahydro-2-naphthalenyl)propylamino]butyl]- (9CI) (CA INDEX NAME)



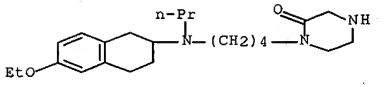
RN 375370-72-2 CAPLUS
CN Piperazinone, 1-[4-[(7-ethoxy-1,2,3,4-tetrahydro-2-naphthalenyl)propylamino]butyl]- (9CI) (CA INDEX NAME)



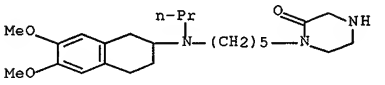
RN 375370-74-4 CAPLUS
CN Piperazinone, 1-[4-[propyl[1,2,3,4-tetrahydro-6-(1-methylethoxy)-2-naphthalenyl]amino]butyl]- (9CI) (CA INDEX NAME)



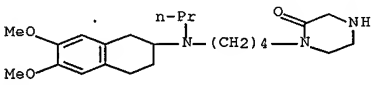
RN 375370-75-5 CAPLUS
CN Piperazinone, 1-[4-[(6-ethoxy-1,2,3,4-tetrahydro-2-naphthalenyl)propylamino]butyl]- (9CI) (CA INDEX NAME)



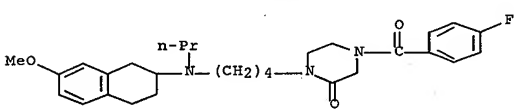
RN 375370-76-6 CAPLUS
CN Piperazinone, 1-[5-[propyl(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]pentyl]- (9CI) (CA INDEX NAME)



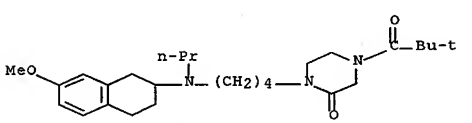
RN 375370-79-9 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



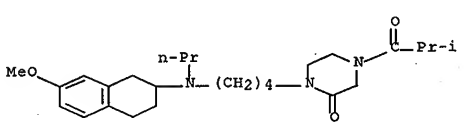
RN 375370-84-6 CAPLUS
CN Piperazinone, 4-(4-fluorobenzoyl)-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



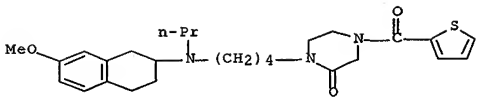
RN 375370-85-7 CAPLUS
CN Piperazinone, 4-(2,2-dimethyl-1-oxopropyl)-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



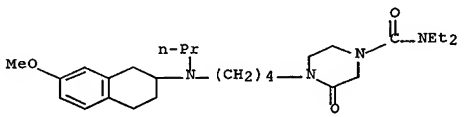
RN 375370-86-8 CAPLUS
CN Piperazinone, 4-(2-methyl-1-oxopropyl)-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



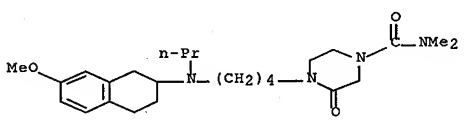
RN 375370-87-9 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-4-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)



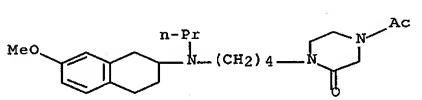
RN 375370-88-0 CAPLUS
CN 1-Piperazinecarboxamide, N,N-diethyl-3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



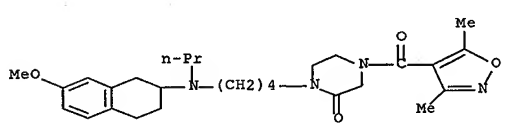
RN 375370-89-1 CAPLUS
CN 1-Piperazinecarboxamide, N,N-dimethyl-3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



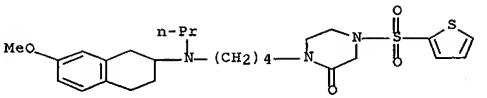
RN 375370-90-4 CAPLUS
CN Piperazinone, 4-acetyl-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



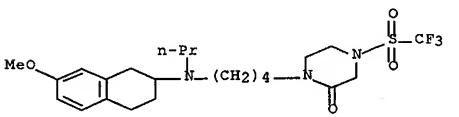
RN 375370-91-5 CAPLUS
CN Piperazinone, 4-[(3,5-dimethyl-4-isoxazolyl)carbonyl]-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



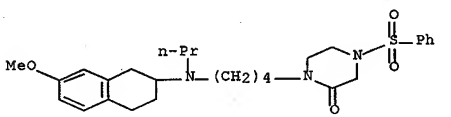
RN 375370-92-6 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-4-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



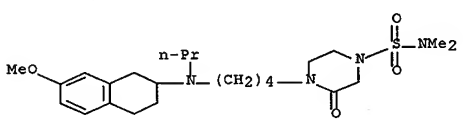
RN 375370-93-7 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



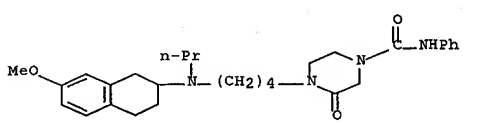
RN 375370-94-8 CAPLUS
CN Piperazinone, 4-(phenylsulfonyl)-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



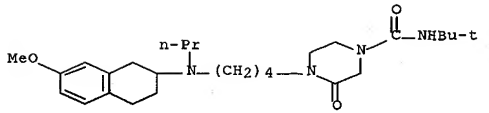
RN 375370-95-9 CAPLUS
CN 1-Piperazinesulfonamide, N,N-dimethyl-3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



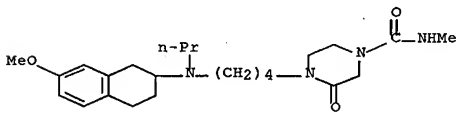
RN 375370-96-0 CAPLUS
CN 1-Piperazinecarboxamide, 3-oxo-N-phenyl-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



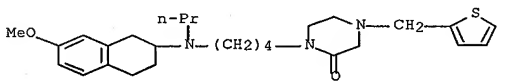
RN 375370-97-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(1,1-dimethylethyl)-3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



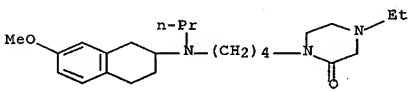
RN 375370-98-2 CAPLUS
CN 1-Piperazinecarboxamide, N-methyl-3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



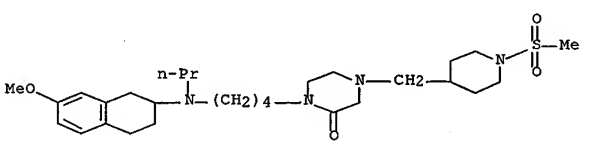
RN 375370-99-3 CAPLUS
CN Piperazinone, 1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-4-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



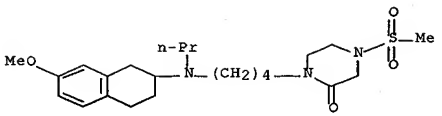
RN 375371-00-9 CAPLUS
CN Piperazinone, 4-ethyl-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



RN 375371-01-0 CAPLUS
CN Piperidine, 1-(methylsulfonyl)-4-[[3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

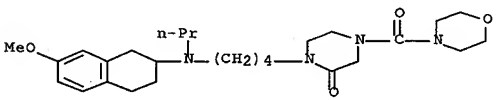


RN 375371-02-1 CAPLUS
CN Piperazinone, 4-(methylsulfonyl)-1-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]- (9CI) (CA INDEX NAME)



RN 375371-08-7 CAPLUS

CN Morpholine, 4-[[3-oxo-4-[4-[propyl(1,2,3,4-tetrahydro-7-methoxy-2-naphthalenyl)amino]butyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



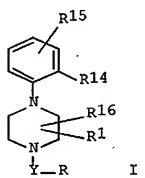
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 1 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 129:27960 MARPAT
TI Preparation of piperazine derivatives as tocolytic oxytocin receptor antagonists
IN Bock, Mark G.; Evans, Ben E.; Culberson, J. Christopher; Gilbert, Kevin F.; Rittle, Kenneth E.; Williams, Peter D.
PA Merck and Co., Inc., USA
SO U.S., 37 pp., Cont.-in-part of U.S. 5,464,788.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5756504	A	19980526	US 1996-718415	19960923
	US 5464788	A	19951107	US 1994-217270	19940324
	WO 9525443	A1	19950928	WO 1995-US3738	19950323

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

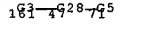
PRAI US 1994-217270 19940324
WO 1995-US3738 19950323
GI



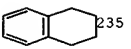
I

AB The title compds. I [Y = SO2, (CH2)p,CO(CH2)p, etc.; p = 1-3; R = (un)substituted Ph, etc.; R1 = H, cyano, Ph, CONHR2, CONR2R2, etc.; R2 = H, C3-8 cycloalkyl or C1-5 alkyl; R14, R15 = C1-5 alkyl or alkoxy, halo; R16 = H or oxo] were prepd. I are useful as oxytocin and vasopressin receptor antagonists. Thus, spiro[1H]indene-1,4'-piperidine.HCl was treated with 2,4-dimethoxy-phenylacetic acid in the presence of EDC, HBT and Et3N to give 1'-(2,4-dimethoxyphenylacetyl)-spiro[1H]indene-1,4'-piperidine, which showed IC50 of 400 nM for [3H]oxytocin.

MSTR 2

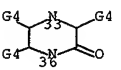


G5 = 235



G28 = 128-161 129-71

G29 = NH (SO)
G34 = 33-7 36-47



DER: or pharmaceutically acceptable salts
MPL: disclosure
NTE: substitution is restricted
NTE: additional substitution also disclosed

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 125:86653 MARPAT
TI Preparation of 2-(N-propylamino)-1,2,3,4-tetrahydronaphthalene dopaminergic D1 and D2 receptor agonist cardiovascular agents
IN Montanari, Stefania; Cavalleri, Paolo; Fraire, Cristina; Grancini, Gian Carlo; Napoletano, Mauro; Santangelo, Francesco
PA Zambon Group S.P.A., Italy
SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9608228	A2	19960321	WO 1995-EP3562	19950911
	WO 9608228	A3	19960725		
	W: AU, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5674909	A	19971007	US 1995-465636	19950606
	CA 2199484	AA	19960321	CA 1995-2199484	19950911
	AU 9535653	A1	19960329	AU 1995-35653	19950911
	AU 694563	B2	19980723		
	EP 781126	A2	19970702	EP 1995-932708	19950911
	EP 781126	B1	20011212		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	HU 76837	A2	19971128	HU 1997-1331	19950911
	JP 11501006	T2	19990126	JP 1995-509893	19950911
	RU 2149158	C1	20000520	RU 1997-106097	19950911
	AT 210433	E	20011215	AT 1995-932708	19950911
	ES 2168384	T3	20020616	ES 1995-932708	19950911
	FI 9701039	A	19970312	FI 1997-1039	19970312
	NO 9701134	A	19970512	NO 1997-1134	19970312
PRAI	IT 1994-MI1868	19940913			
	WO 1995-EP3562	19950911			

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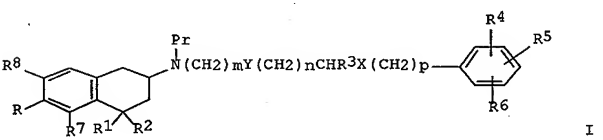
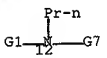


I

AB The title compds. (I; Markush definitions are provided within the document), useful for the treatment of arterial hypertension, congestive heart failure, renal failure, hypertension, and cerebrovascular insufficiencies, are prepd. Thus, (S)-N-propyl-N-[6-[(1,4-benzodioxan-2-yl)methylamino]hexyl]-5,6-dihydroxy-1,2,3,4-tetrahydro-2-naphthylamine dihydrochloride was prepd. and demonstrated a Ki of 0.66 nM against [3H]-domperidone on rat striated membrane-derived D2 receptors.

MSTR 1



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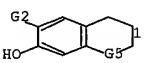
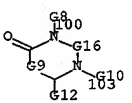


G5 = 52

G8 = (4-8) CH2
G16 = (1-2) CH2
G21 = 100-12 103-14



DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L10 ANSWER 3 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 123:330860 MARPAT
TI Tocolytic oxytocin receptor antagonists
IN Bock, Mark G.; Evans, Ben E.; Culberson, J. Christopher; Gilbert, Kevin F.; Rittle, Kenneth E.; Williams, Peter D.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9525443	A1	19950928	WO 1995-US3738	19950323
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5464788	A	19951107	US 1994-217270	19940324
	CA 2186129	AA	19950928	CA 1995-2186129	19950323
	AU 9521952	A1	19951009	AU 1995-21952	19950323
	AU 686792	B2	19980212		
	EP 751773	A1	19970108	EP 1995-914875	19950323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09512521	T2	19971216	JP 1995-524838	19950323
	US 5756504	A	19980526	US 1996-718415	19960923
PRAI	US 1994-217270	19940324			
	WO 1995-US3738	19950323			

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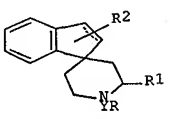

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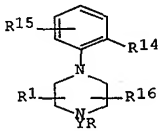
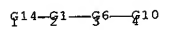


II

AB Spiroindenepiperidine derivs. I [R1 = H, C1-5 alkyl, CN, CO2H, Ph, etc.; R2 = H, PhCH2, C3-8 cycloalkyl, C1-5 alkyl; Y = CO2, C(O)NR2, C(:NR2), SO2, C(O)(CH2)n, (CH2)p, (CH2)pC(O); R = (tetrahydro)naphthyl, (substituted) cyclohexyl, (substituted) Ph, heterocyclyl; bond in cyclopentane ring is single or double; n = 0-3; p = 1-3] and phenylpiperazine derivs. II (Y, R, R1 as above; R14, R15 = H, C1-5 alkyl, C1-5 alkoxy, halo, NO2, CN; R16 = H, :O) and their pharmaceutically acceptable salts and esters are useful as oxytocin and vasopressin receptor antagonists for treatment of preterm labor and dysmenorrhea and for stopping labor prior to cesarean delivery. Thus, 1-[2-methoxy-4-[1-[2-(N-cyclopropylamino)ethylsulfonyl]-4-piperidyloxy]phenylacetyl]-4-(2-methylphenyl)piperazine-2-carboxamide (III) was prepd. in 11 steps from 4-hydroxypiperidine, Me 2,4-dihydroxybenzoate, 2-benzylaminoethanol, o-toluidine, 2,3-dibromopropionamide, and cyclopropylamine. III competed

with 1 nM oxytocin-3H for binding to rat uterine tissue with an IC50 of 20 nM.

MSTR 1



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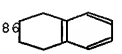
G5 = C(O)
G6 = 68-2 69-4

G7 = 70

G10 = 86



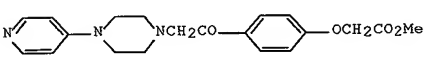
DER: and pharmaceutically acceptable salts and esters
MPL: claim 1
NTE: substitution is restricted

L10 ANSWER 4 OF 4 MARPAT COPYRIGHT 2003 ACS on STN
AN 123:169654 MARPAT
TI Preparation of heterocyclic compounds as platelet aggregation inhibitors
IN Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PA Zeneca Ltd., UK
SO PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422835	A2	19941013	WO 1994-GB648	19940328
	WO 9422835	A3	19941222		
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2155307	AA	19941013	CA 1994-2155307	19940328
	AU 9462890	A1	19941024	AU 1994-62890	19940328
	AU 692439	B2	19980611		
	EP 690847	A1	19960110	EP 1994-910495	19940328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08509967	T2	19961022	JP 1994-521811	19940328
	JP 3088016	B2	20000918		
	US 5750754	A	19980512	US 1996-658097	19960604

PRAI GB 1993-6451 19930329
GB 1993-25610 19931215
GB 1993-6453 19930329
GB 1993-25605 19931215
WO 1994-GB648 19940328
GB 1995-18188 19950907

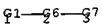
GI



I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

MSTR 1A



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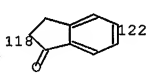
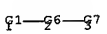
G14 = 346-1 347-47

G15 = 118-46 122-3



DER: or pharmaceutically acceptable salts or prodrugs
MPL: claim 1
NTE: additional ring formation is allowed
NTE: substitution is restricted

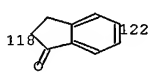
MSTR 1B



G4 = 24-2 27-7

G14 = 346-1 347-47

G34 = 118-46 122-48



DER: or pharmaceutically acceptable salts or prodrugs
MPL: claim 1
NTE: additional ring formation is allowed
NTE: substitution is restricted

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L1                STR
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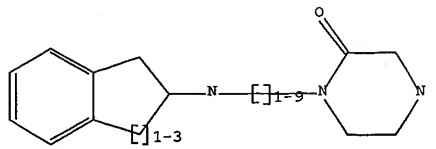

G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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L3             29 S L1 FUL

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L4              2 S L3

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L6              0 S L1 FUL

     FILE 'MARPAT' ENTERED AT 18:56:32 ON 18 DEC 2003
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L8              6 S L1 FUL
L9              5 S L8/COM
L10             4 S L9 NOT L4
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FULL ESTIMATED COST	121.87	279.78
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.48	-3.78

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